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=> d his

     (FILE 'HOME' ENTERED AT 17:42:35 ON 01 FEB 2005)

     FILE 'USPATFULL' ENTERED AT 17:42:45 ON 01 FEB 2005

     FILE 'REGISTRY' ENTERED AT 17:42:52 ON 01 FEB 2005
L1             STRUCTURE UPLOADED
L2         27150 S L1 SSS FUL
L3             STRUCTURE UPLOADED
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L5             STRUCTURE UPLOADED
L6             0 S L5
L7             0 S L5 SSS FUL
L8             0 S L5 SSS FUL
L9             STRUCTURE UPLOADED
L10         4099 S L9 SSS FUL

     FILE 'USPATFULL' ENTERED AT 17:50:19 ON 01 FEB 2005
L11          164 S L10 AND (TUMOR OR CANCER? OR NEOPLAST?) AND ( BREAST OR PROST

     FILE 'CAPLUS' ENTERED AT 17:53:28 ON 01 FEB 2005
L12          143 S L10 AND (TUMOR OR CANCER? OR NEOPLAST?) AND ( BREAST OR PROST

=>
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=>
Uploading C:\Program Files\Stnexp\Queries\acridinec.str

L9 STRUCTURE UPLOADED

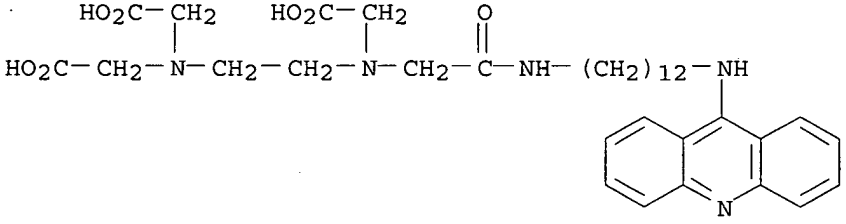
=> s l9 sss ful
FULL SEARCH INITIATED 17:49:55
FULL SCREEN SEARCH COMPLETED - 23634 TO ITERATE

100.0% PROCESSED 23634 ITERATIONS 4099 ANSWERS
SEARCH TIME: 00.00.01

L10 4099 SEA SSS FUL L9

=> d 1-10

L10 ANSWER 1 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811801-00-0 REGISTRY
CN Glycine, N-[2-[[12-(9-acridinylamino)dodecyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)
MF C35 H49 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L10 ANSWER 2 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-99-4 REGISTRY
CN Glycine, N-[2-[[10-(9-acridinylamino)decyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)
MF C33 H45 N5 O7
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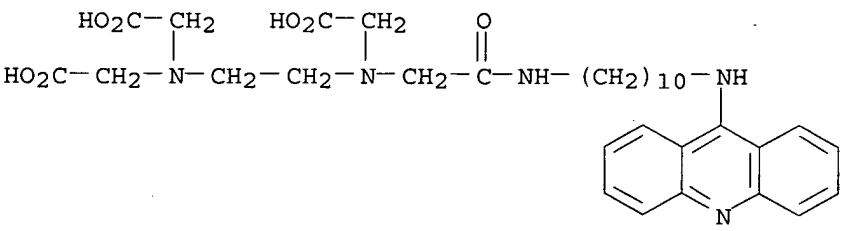
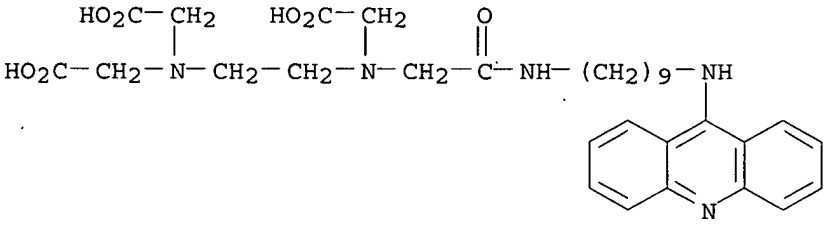
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

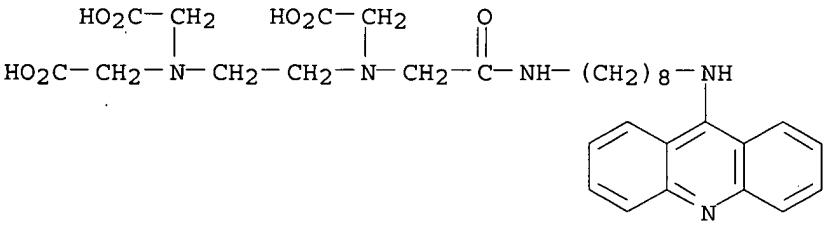
L10 ANSWER 3 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-98-3 REGISTRY
CN Glycine, N-[2-[[9-(9-acridinylamino)nonyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)
MF C32 H43 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L10 ANSWER 4 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-97-2 REGISTRY
CN Glycine, N-[2-[[8-(9-acridinylamino)octyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)
MF C31 H41 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

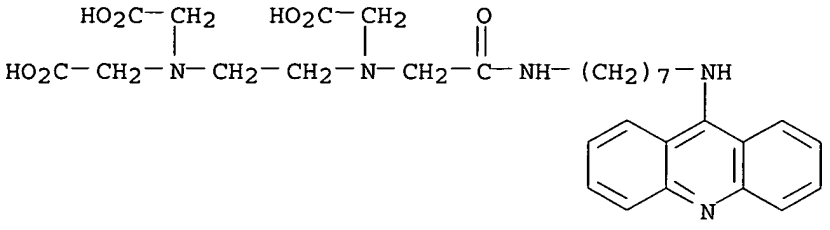


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L10 ANSWER 5 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-96-1 REGISTRY
CN Glycine, N-[2-[[7-(9-acridinylamino)heptyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

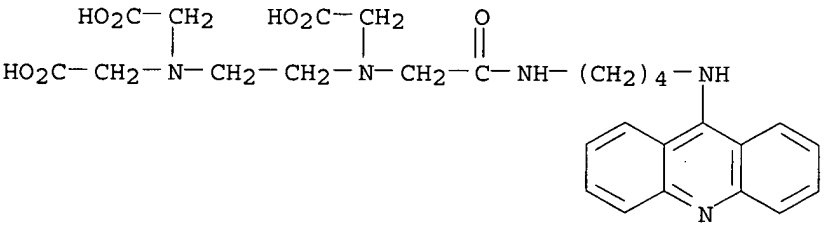
MF C30 H39 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

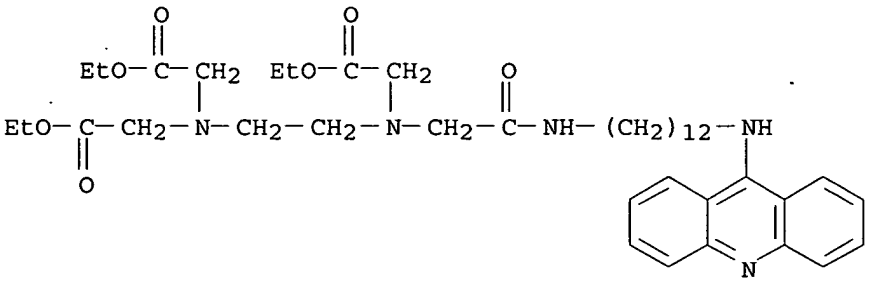
L10 ANSWER 6 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-95-0 REGISTRY
CN Glycine, N-[2-[[4-(9-acridinylamino)butyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)
MF C27 H33 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

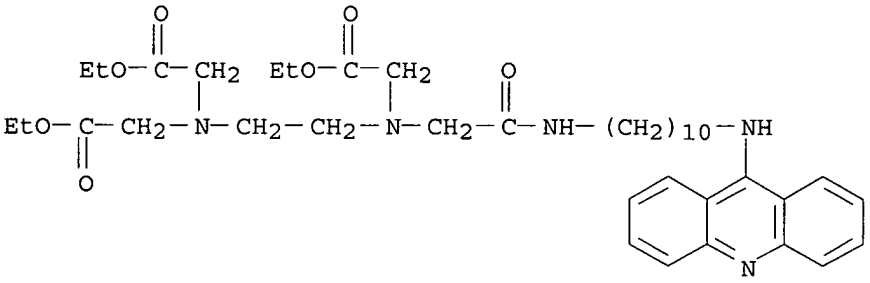
L10 ANSWER 7 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-94-9 REGISTRY
CN Glycine, N-[2-[[12-(9-acridinylamino)dodecyl]amino]-2-oxoethyl]-N-[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C41 H61 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

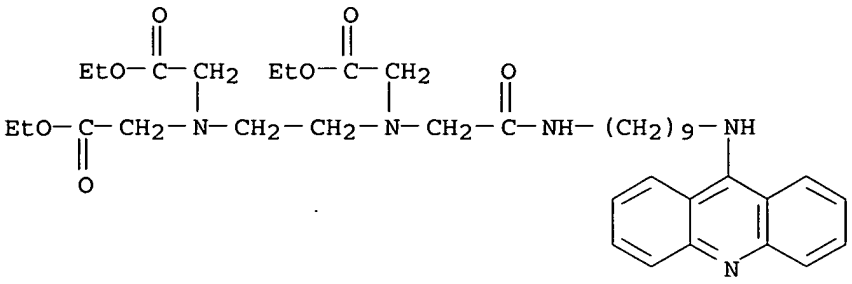
L10 ANSWER 8 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-93-8 REGISTRY
CN Glycine, N-[2-[[10-(9-acridinylamino)decyl]amino]-2-oxoethyl]-N-[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C39 H57 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

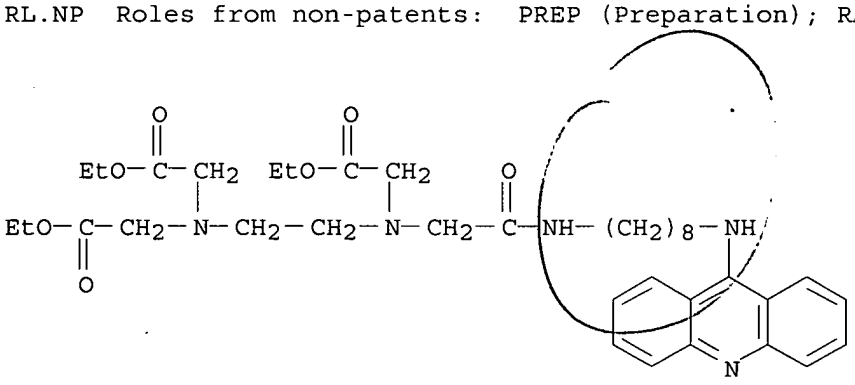
L10 ANSWER 9 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-92-7 REGISTRY
CN Glycine, N-[2-[[9-(9-acridinylamino)nonyl]amino]-2-oxoethyl]-N-[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C38 H55 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

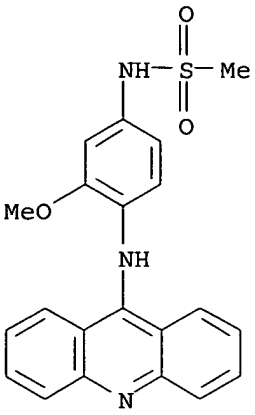
L10 ANSWER 10 OF 4099 REGISTRY COPYRIGHT 2005 ACS on STN
RN 811800-91-6 REGISTRY
CN Glycine, N-[2-[[8-(9-acridinylamino)octyl]amino]-2-oxoethyl]-N-[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C37 H53 N5 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

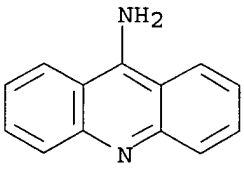
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 100 OF 143 CAPLUS COPYRIGHT 2005 ACS on STN
TI Relationship between expression of topoisomerase II isoforms and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines
AB Topoisomerase II is a key target for many anti-**cancer** drugs used to treat **breast cancer**. In human cells there are two closely related, but differentially expressed, topoisomerase II isoforms, designed topoisomerase IIα and β. Here,. . . topoisomerase II. No relationship was found between the level of mRNA for topoisomerase IIα or β, and either sensitivity of **breast cancer** cell lines to topoisomerase II inhibitors or the level of topoisomerase II protein expression. Using this antibody, together with a. . . the 170 kDa isoform of topoisomerase II, we have examined the relationship between the sensitivity of a panel of human **breast cancer** cell lines to different classes of topoisomerase II inhibitors and cellular levels of the topoisomerase IIα and β proteins. We. . . topoisomerase II. No relationship was found between the level of mRNA for topoisomerase IIα or β, and either sensitivity of **breast cancer** cell lines to topoisomerase II inhibitors or the level of topoisomerase II protein expressions.
ST topoisomerase inhibitor **breast cancer** sensitivity
IT Neoplasm inhibitors
(topoisomerase II isoforms expression and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines)
IT Mammary gland
(neoplasm, topoisomerase II isoforms expression and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines)
IT 142805-56-9, Topoisomerase II
RL: ANT (Analyte); BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PROC (Process); USES (Uses)
(topoisomerase II isoforms expression and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines)
IT 33419-42-0, Etoposide **51264-14-3**, Amsacrine 65271-80-9, Mitoxantrone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topoisomerase II isoforms expression and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines)
IT **51264-14-3**, Amsacrine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topoisomerase II isoforms expression and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines)
RN 51264-14-3 CAPLUS
CN Methanesulfonamide, N-[4-(9-acridinylamino)-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1996:46379 CAPLUS
DOCUMENT NUMBER: 124:114042
TITLE: Relationship between expression of topoisomerase II isoforms and intrinsic sensitivity to topoisomerase II inhibitors in **breast cancer** cell lines
AUTHOR(S): Houlbrook, S.; Addison, C. M.; Davies, S. L.; Carmichael, J.; Stratford, I. J.; Harris, A. L.; Hickson, I. D.
CORPORATE SOURCE: Molecular Oncology Laboratories, John Radcliffe Hospital, Oxford, OX3 9DU, UK
SOURCE: British Journal of Cancer (1995), 72(6), 1454-61
CODEN: BJCAAI; ISSN: 0007-0920
PUBLISHER: Stockton
DOCUMENT TYPE: Journal
LANGUAGE: English

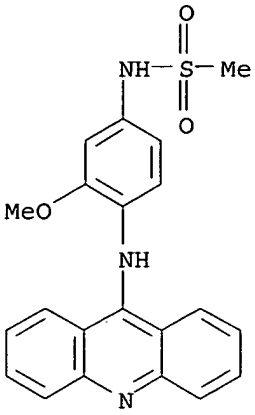
L12 ANSWER 101 OF 143 CAPLUS COPYRIGHT 2005 ACS on STN
AB . . . to study the acidic endocytic compartments of cultured cells, using fluorescein-conjugated dextran that was internalized by fluid phase endocytosis. In **breast cancer** cells, the presence of large acidic phagosomes was correlated with the invasive properties of the cells. The lumen of phagosomes. . .
IT **90-45-9**, 9-Amino acridine 9004-54-0, Dextran, uses 85138-49-4, BCECF
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(fluorescence digital imaging and pHi of cellular compartments)
IT **90-45-9**, 9-Amino acridine
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(fluorescence digital imaging and pHi of cellular compartments)
RN 90-45-9 CAPLUS
CN 9-Acridinamine (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1995:788726 CAPLUS
DOCUMENT NUMBER: 123:192750
TITLE: Fluorescence digital imaging and pHi of cellular compartments
AUTHOR(S): Mangeat, P.; Astier, C.; Gros, L.; Montcourrier, P.;

Sahuquet, A.
CORPORATE SOURCE: Univ. Montpellier II, Montpellier, 34095, Fr.
SOURCE: Journal of Trace and Microprobe Techniques (1995), 13(3), 227-36
CODEN: JTMTDE; ISSN: 0733-4680
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

L12 ANSWER 102 OF 143 CAPLUS COPYRIGHT 2005 ACS on STN
TI Experimental solid **tumor** activity of N-[2-(dimethylamino)ethyl]acridine-4-carboxamide
AB The activity of the title compound (DACA), a topoisomerase II inhibitor, was assessed against advanced (5-mm diameter) s.c. **colon** 38 adenocarcinomas in BDF1 mice, using **tumor**-growth delay as an end point. Its activity was related pos. to the total dose given and neg. to the total. . .
ST antitumor acridinecarboxamide deriv; **colon** carcinoma inhibition acridine deriv; melanoma inhibition acridine deriv; dimethylaminoethylacridinecarboxamide antitumor
IT Neoplasm inhibitors
(**colon** carcinoma, (dimethylamino)ethylacridinecarboxamide as)
IT Intestine, neoplasm
(**colon**, carcinoma, inhibitors, (dimethylamino)ethylacridinecarboxamide as)
IT 89459-25-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of solid **tumors** by)
IT 50-18-0, Cyclophosphamide 51-21-8, 5-Fluorouracil 20830-81-3, Daunorubicin 23214-92-8, Doxorubicin 29767-20-2, Teniposide 33419-42-0, Etoposide **51264-14-3**, Amsacrine 65271-80-9, Mitoxantrone 80841-47-0, CI 921
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of solid **tumors** by (dimethylamino)ethylacridinecarboxamide in comparison with)
IT **51264-14-3**, Amsacrine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of solid **tumors** by (dimethylamino)ethylacridinecarboxamide in comparison with)
RN 51264-14-3 CAPLUS
CN Methanesulfonamide, N-[4-(9-acridinylamino)-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



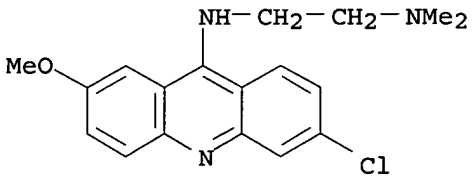
ACCESSION NUMBER:	1995:724500 CAPLUS
DOCUMENT NUMBER:	123:132181
TITLE:	Experimental solid **tumor** activity of N-[2-(dimethylamino)ethyl]acridine-4-carboxamide
AUTHOR(S):	Baguley, Bruce C.; Zhuang, Li; Marshall, Elaine
CORPORATE SOURCE:	School of Medicine, University of Auckland, Auckland, N. Z.
SOURCE:	Cancer Chemotherapy and Pharmacology (1995), 36(3), 244-8 CODEN: CCPHDZ; ISSN: 0344-5704
DOCUMENT TYPE:	Journal
LANGUAGE:	English

alkenyl, or alkynyl secondary or tertiary amine; R2 = (un)substituted Ph, naphthyl, anthracyl, phenanthryl, or styryl; R3 = R5 = R8 = H; R6, R7 = H, halo] and pharmaceutically acceptable salts thereof to said subject, the 4-quinolinamine composition comprising a compound having the structural formula A. They can be used in preventative and therapeutic treatments of **autoimmune** diseases and phenomena, transplant rejection such as host-vs.-graft disease and sepsis. A detailed structure-activity relationship (SAR) anal. of quinoline antagonists of immunostimulatory CpG-ODNs was undertaken. The synthesis work together with SAR anal. of the synthesized quinolines culminated in the finding of an extremely active agent (II).

IT **119120-33-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of **autoimmune** diseases and transplant rejection such as host-vs.-graft disease and sepsis)

RN 119120-33-1 CAPLUS

CN 1,2-Ethanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2001:182603 USPATFULL
TITLE: Substituted bis-acridines and related compounds as CCR5 receptor ligands, anti-inflammatory agents and anti-viral agents
INVENTOR(S): Bondinell, William E., Wayne, PA, United States
Reader, Valerie A., Princeton, NJ, United States
Ku, Thomas Wen Fu, Dresher, PA, United States
PATENT ASSIGNEE(S): SmithKline Beecham Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001031763	A1	20011018
APPLICATION INFO.:	US 2001-833044	A1	20010411 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-341171, filed on 2 Jul 1999, GRANTED, Pat. No. US 6242459 A 371 of International Ser. No. WO 1998-US489, filed on 8 Jan 1998, UNKNOWN

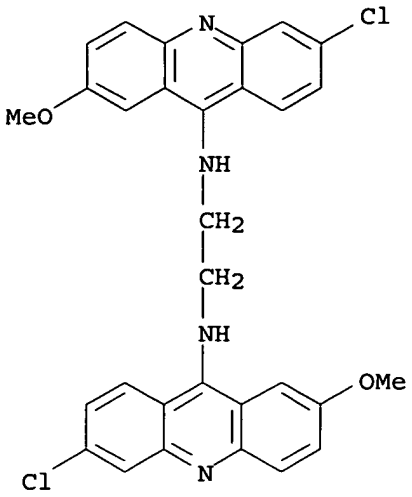
	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-35148P	19970108 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GLAXOSMITHKLINE, Corporate Intellectual Property - UW2220, P.O. Box 1539, King of Prussia, PA, 19406-0939
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1558
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to substituted bis-acridines and related compounds which are ligands, in particular, antagonists of the CCR5 receptor. In addition, this invention relates to the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, atherosclerosis, psoriasis, **autoimmune** disease such as multiple sclerosis, and inflammatory bowel disease, all in mammals, by the use of substituted bis-acridines and related compounds which are CCR5 receptor antagonists. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor ligands may be useful in the treatment of HIV infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **210418-50-1P 210418-51-2P 210418-54-5P**
210418-56-7P 210418-58-9P 210418-60-3P
210418-62-5P 210418-64-7P 210418-66-9P
210418-68-1P 210418-70-5P 210418-72-7P
210418-74-9P 210418-76-1P 210418-78-3P
210418-83-0P 210418-84-1P
(preparation of bisacridines and related compds. as CCR5 receptor ligands)
RN 210418-50-1 USPATFULL
CN 1,2-Ethanediamine, N,N'-bis(6-chloro-2-methoxy-9-acridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

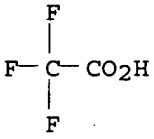
CM 1

CRN 172090-23-2
CMF C30 H24 Cl2 N4 O2



CM 2

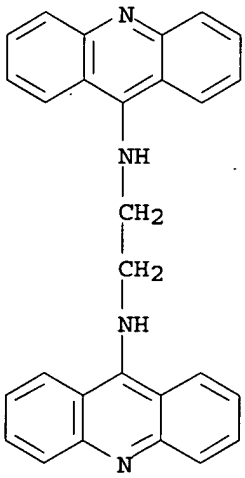
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-51-2 USPATFULL
CN 1,2-Ethanediamine, N,N'-di-9-acridinyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

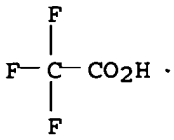
CM 1

CRN 58903-56-3
CMF C28 H22 N4



CM 2

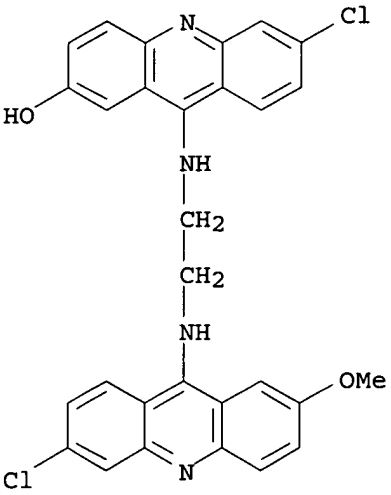
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-54-5 USPATFULL
CN 2-Acridinol, 6-chloro-9-[[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]amino]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

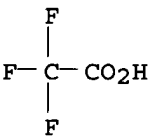
CM 1

CRN 210418-53-4
CMF C29 H22 Cl2 N4 O2



CM 2

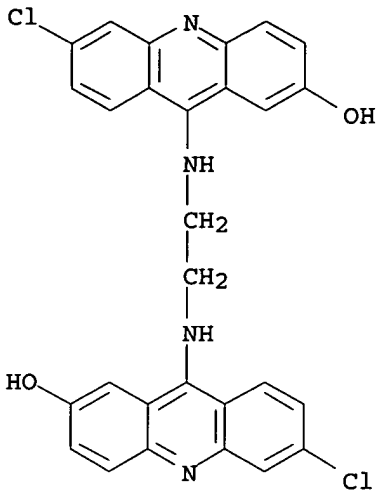
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-56-7 USPATFULL
CN 2-Acridinol, 9,9'-(1,2-ethanediyldiimino)bis[6-chloro-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

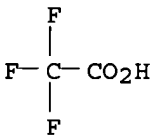
CM 1

CRN 210418-55-6
CMF C28 H20 Cl2 N4 O2



CM 2

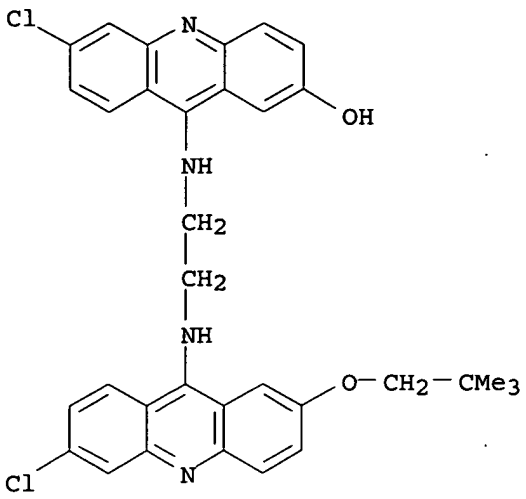
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-58-9 USPATFULL
CN 2-Acridinol, 6-chloro-9-[[2-[[6-chloro-2-(2,2-dimethylpropoxy)-9-acridinyl]amino]ethyl]amino]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

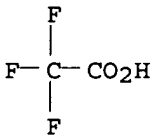
CM 1

CRN 210418-57-8
CMF C33 H30 Cl2 N4 O2



CM 2

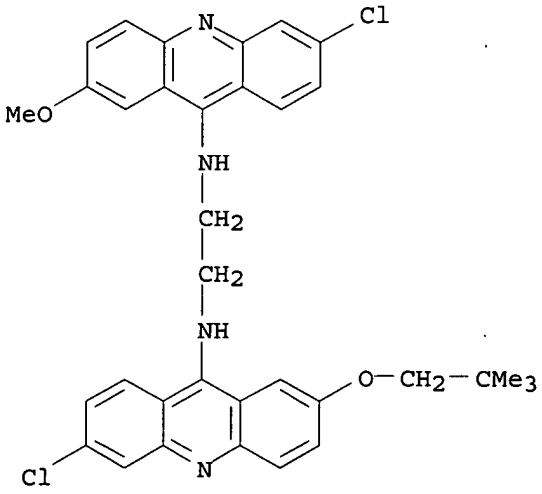
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-60-3 USPATFULL
CN 1,2-Ethanediamine, N-[6-chloro-2-(2,2-dimethylpropoxy)-9-acridinyl]-N'-(6-chloro-2-methoxy-9-acridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

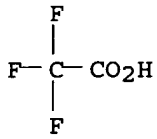
CM 1

CRN 210418-59-0
CMF C34 H32 Cl2 N4 O2



CM 2

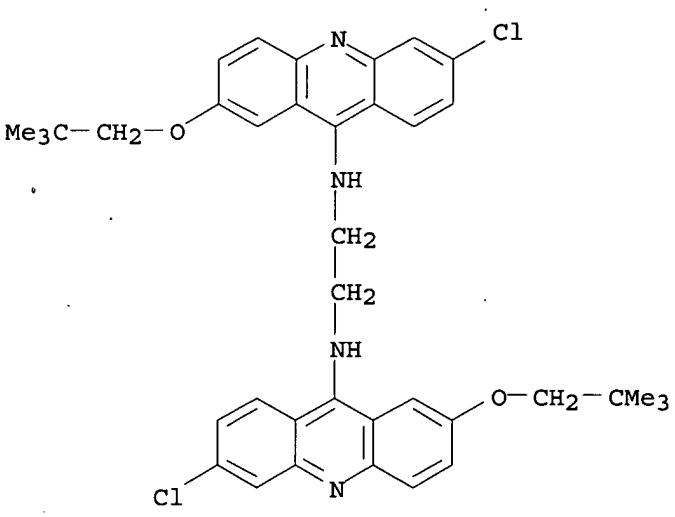
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-62-5 USPATFULL
CN 1,2-Ethanediamine, N,N'-bis[6-chloro-2-(2,2-dimethylpropoxy)-9-acridinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

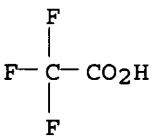
CM 1

CRN 210418-61-4
CMF C38 H40 Cl2 N4 O2



CM 2

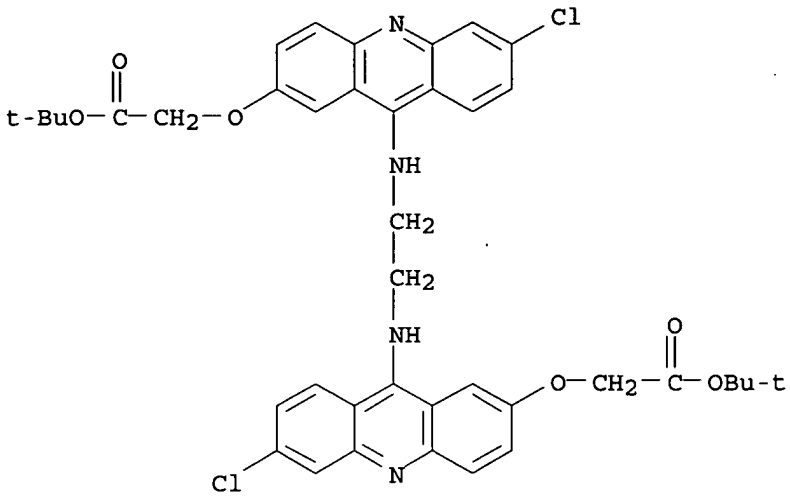
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-64-7 USPATFULL
CN Acetic acid, 2,2'-[1,2-ethanediylbis[imino(6-chloro-9,2-acridinediyl)oxy]]bis-, bis(1,1-dimethylethyl) ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

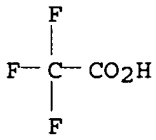
CM 1

CRN 210418-63-6
CMF C40 H40 Cl2 N4 O6



CM 2

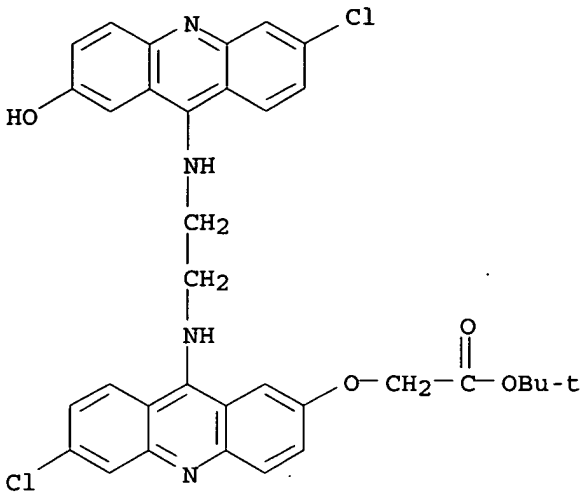
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-66-9 USPATFULL
CN Acetic acid, [[6-chloro-9-[[2-[(6-chloro-2-hydroxy-9-acridinyl)amino]ethyl]amino]-2-acridinyl]oxy]-, 1,1-dimethylethyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

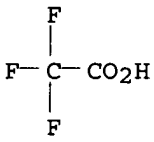
CM 1

CRN 210418-65-8
CMF C34 H30 Cl2 N4 O4



CM 2

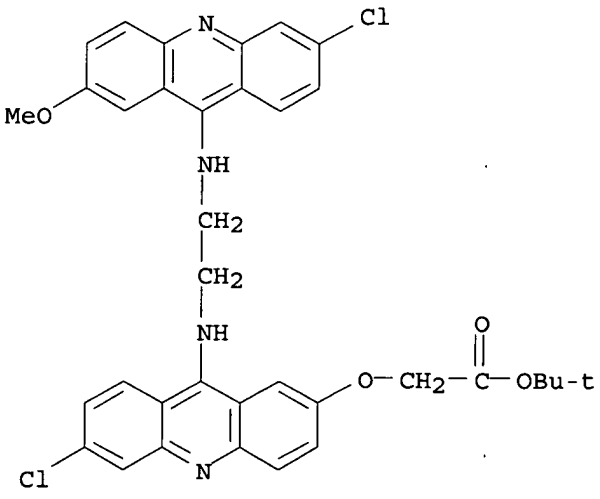
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-68-1 USPATFULL
CN Acetic acid, [[6-chloro-9-[[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]amino]-2-acridinyl]oxy]-, 1,1-dimethylethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

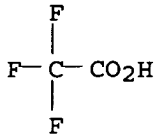
CM 1

CRN 210418-67-0
CMF C35 H32 Cl2 N4 O4



CM 2

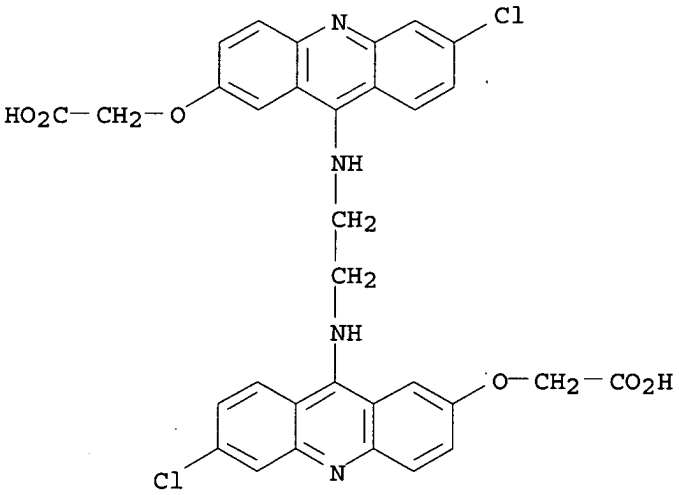
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-70-5 USPATFULL
CN Acetic acid, 2,2'-[1,2-ethanediylbis[imino(6-chloro-9,2-acridinediyl)oxy]]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

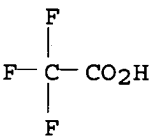
CM 1

CRN 210418-69-2
CMF C32 H24 Cl2 N4 O6



CM 2

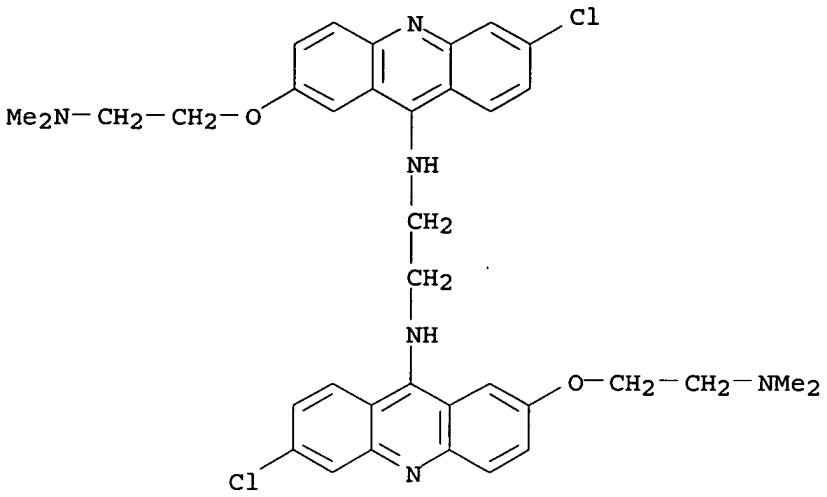
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-72-7 USPATFULL
CN 1,2-Ethanediamine, N,N'-bis[6-chloro-2-[2-(dimethylamino)ethoxy]-9-acridinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

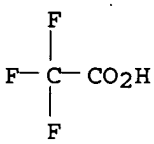
CM 1

CRN 210418-71-6
CMF C36 H38 Cl2 N6 O2



CM 2

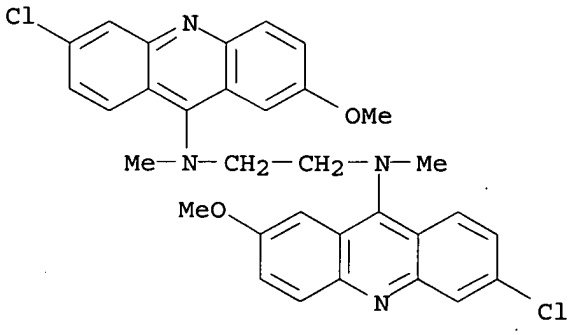
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-74-9 USPATFULL
CN 1,2-Ethanediamine, N,N'-bis(6-chloro-2-methoxy-9-acridinyl)-N,N'-dimethyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

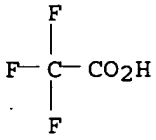
CM 1

CRN 210418-73-8
CMF C32 H28 Cl2 N4 O2



CM 2

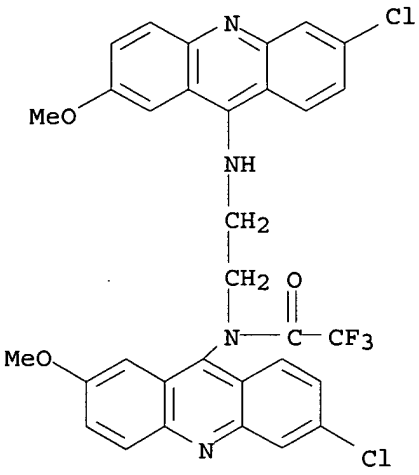
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-76-1 USPATFULL
CN Acetamide, N-(6-chloro-2-methoxy-9-acridinyl)-N-[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]-2,2,2-trifluoro-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

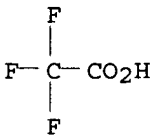
CM 1

CRN 210418-75-0
CMF C32 H23 Cl2 F3 N4 O3



CM 2

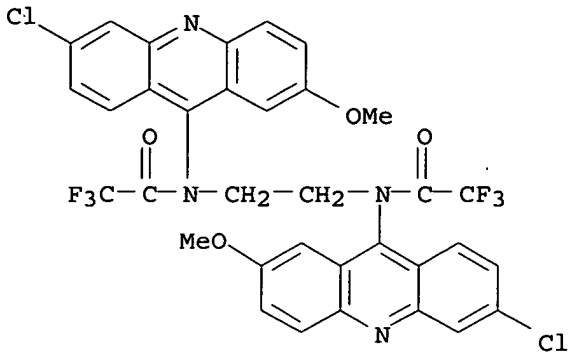
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-78-3 USPATFULL
CN Acetamide, N,N'-1,2-ethanediylbis[N-(6-chloro-2-methoxy-9-acridinyl)-2,2,2-trifluoro-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

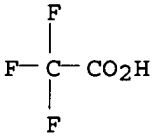
CM 1

CRN 210418-77-2
CMF C34 H22 Cl2 F6 N4 O4



CM 2

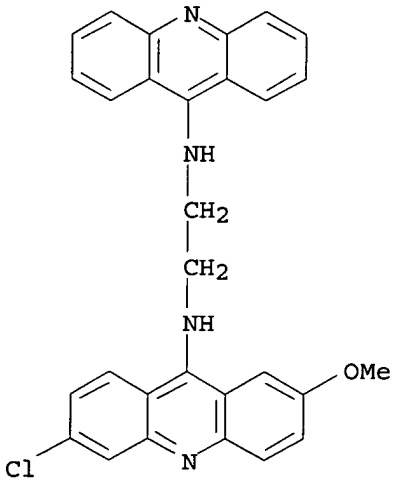
CRN 76-05-1
CMF C2 H F3 O2



RN 210418-83-0 USPATFULL
CN 1,2-Ethanediamine, N-9-acridinyl-N'-(6-chloro-2-methoxy-9-acridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

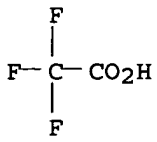
CRN 210418-82-9
CMF C29 H23 Cl N4 O



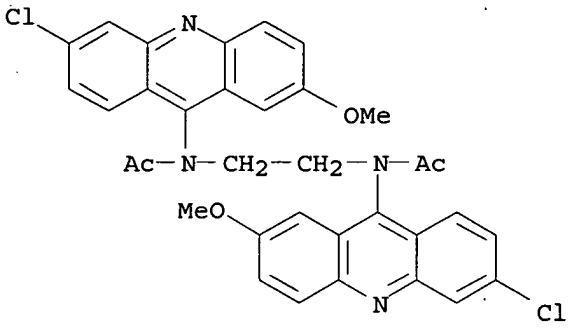
CM 2

CRN 76-05-1

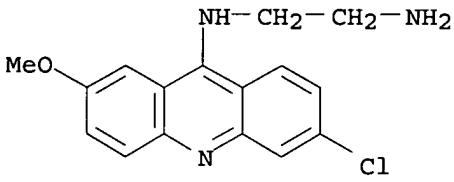
CMF C2 H F3 O2



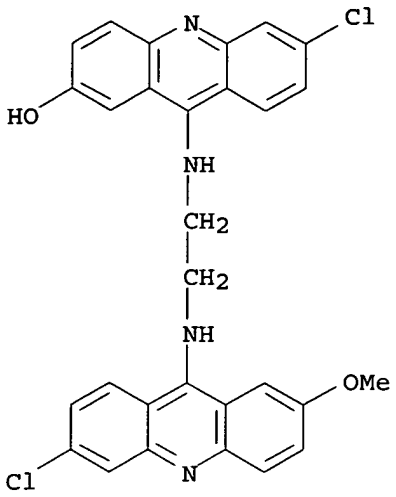
RN 210418-84-1 USPATFULL
CN Acetamide, N,N'-1,2-ethanediylbis[N-(6-chloro-2-methoxy-9-acridinyl)- (9CI) (CA INDEX NAME)



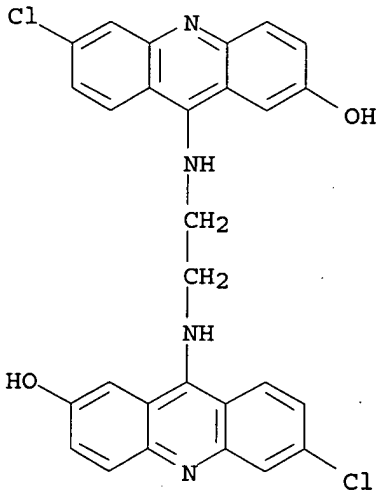
IT **14446-60-7**
(preparation of bisacridines and related compds. as CCR5 receptor ligands)
RN 14446-60-7 USPATFULL
CN 1,2-Ethanediamine, N-(6-chloro-2-methoxy-9-acridinyl)- (9CI) (CA INDEX NAME)



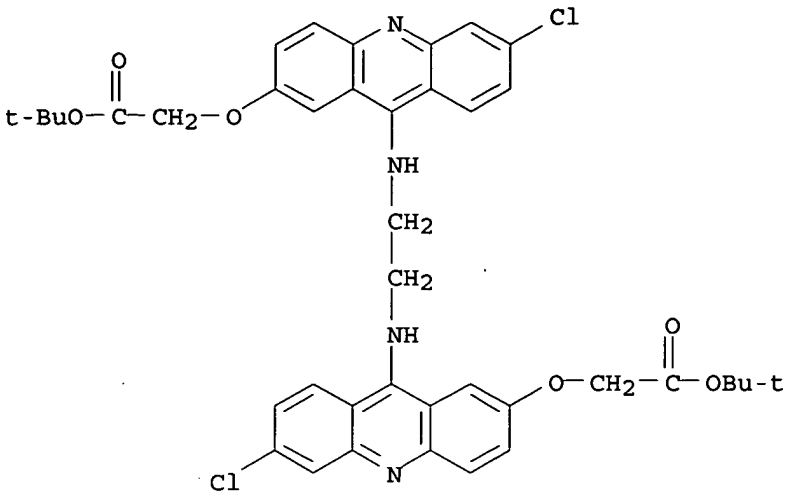
IT **210418-53-4P 210418-55-6P 210418-63-6P**
(preparation of bisacridines and related compds. as CCR5 receptor ligands)
RN 210418-53-4 USPATFULL
CN 2-Acridinol, 6-chloro-9-[[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)



RN 210418-55-6 USPATFULL
CN 2-Acridinol, 9,9'-(1,2-ethanediyldiimino)bis[6-chloro- (9CI) (CA INDEX NAME)



RN 210418-63-6 USPATFULL
CN Acetic acid, 2,2'-[1,2-ethanediylbis[imino(6-chloro-9,2-acridinediyl)oxy]]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 16 USPATFULL on STN

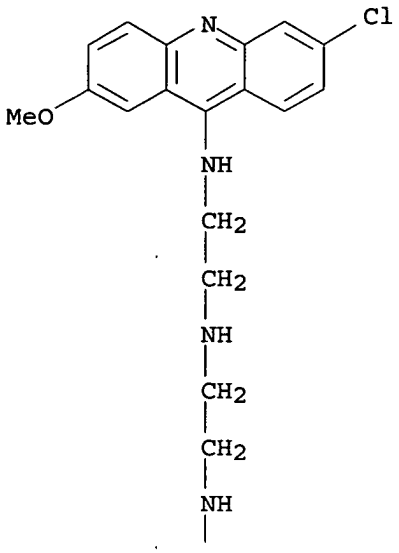
L8 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:244482 CAPLUS
DOCUMENT NUMBER: 139:94779
TITLE: Potent inhibition of scrapie prion replication in cultured cells by bis-acridines
AUTHOR(S): May, Barnaby C. H.; Fafarman, Aaron T.; Hong, Septima B.; Rogers, Michael; Deady, Leslie W.; Prusiner, Stanley B.; Cohen, Fred E.
CORPORATE SOURCE: Departments of Cellular and Molecular Pharmacology, University of California, San Francisco, CA, 94143, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2003), 100(6), 3416-3421
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Prion diseases are characterized by an accumulation of PrPSc, a misfolded isoform of the normal cellular prion protein, PrPC. We previously reported the bioactivity of acridine-based compds. against PrPSc replication in scrapie-infected **neuroblastoma** cells and now report the improved potency of bis-acridine compds. Bis-acridines are characterized by a dimeric motif, comprising two acridine heterocycles tethered by a linker. A library of bis-(6-chloro-2-methoxy-acridin-9-yl) and bis-(7-chloro-2-methoxy-benzo[b][1,5]-naphthyridin-10-yl) analogs was synthesized to explore the effect of structurally diverse linkers on PrPSc replication in scrapie-infected **neuroblastoma** cells. Structure-activity anal. revealed that linker length and structure are important determinants for inhibition of prion replication in cultured scrapied cells. Three bis-acridine analogs, (6-chloro-2-methoxy-acridin-9-yl)-(3-(4-[3-(6-chloro-2-methoxyacridin-9- ylamino)-propyl]-piperazin-1-yl)-propyl)-amine, N,N'-bis-(6-chloro-2-methoxy-acridin-9-yl)-1,8-diamino-3,6-dioxaoctane, and (1-{[4-(6-chloro-2-methoxy-acridin-9-ylamino)-butyl]-[3-(6-chloro-2- methoxy-acridin-9-ylamino)-propyl]-carbamoyl}-ethyl)-carbamic acid tert-Bu ester, showed half-maximal inhibition of PrPSc formation at 40, 25, and 30 nM, resp., and were not cytotoxic to uninfected **neuroblastoma** cells at concns. of 500 nM. Our data suggest that bis-acridine analogs may provide a potent alternative to the acridine-based compound quinacrine, which is currently under clin. evaluation for the treatment of prion disease.

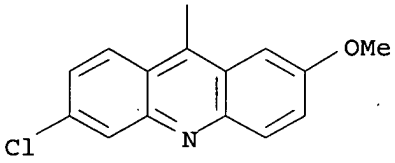
IT **291754-79-5P 557785-17-8P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(potent inhibition of scrapie prion replication in cultured cells by bis-acridines)

RN 291754-79-5 CAPLUS
CN 1,2-Ethanediamine, N-(6-chloro-2-methoxy-9-acridinyl)-N'-[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

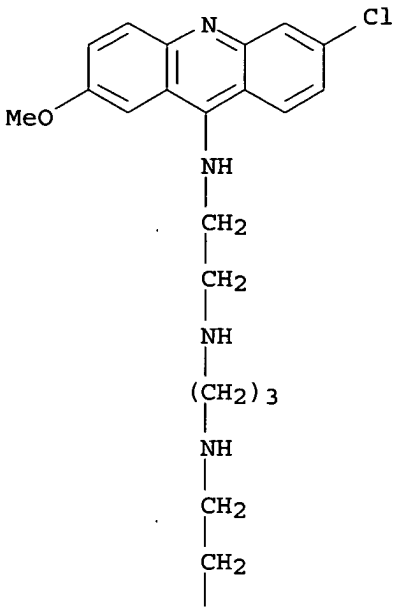


PAGE 2-A

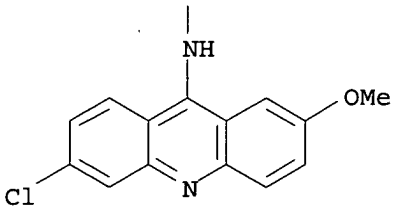


RN 557785-17-8 CAPLUS
CN 1,3-Propanediamine, N,N'-bis[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:15800 CAPLUS
DOCUMENT NUMBER: 52:15800
ORIGINAL REFERENCE NO.: 52:2859f-i,2860a-i,2861a-c
TITLE: Synthetic amebicides. II. 7-Dialkylaminoalkylaminobenz[c]acridines and other 7-aminobenz[c]acridines
AUTHOR(S): Elslager, Edward F.; Moore, Alexander M.; Short, Franklin W.; Sullivan, Marie Jo; Tendick, Frank H.
CORPORATE SOURCE: Parke, Davis & Co., Detroit, MI
SOURCE: Journal of the American Chemical Society (1957), 79, 4699-703
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:15800

AB cf. C.A. 51, 1182d. K phthalimide (138 g.), 367 g. Br(CH2)6Br, and 1.5 l. HCONMe2 stirred 18 hrs. at room temperature, filtered, and evaporated in vacuo, and the semisolid residue triturated with petr. ether and filtered gave 120 g. N-(6-bromohexyl)phthalimide (I), m. 120° (MeOH). I (120 g.) and 170 g. piperidine refluxed in xylene, treated with NaOH, and hydrolyzed with HCl yielded 19.4 g. 1-(6-aminohexyl)piperidine, b0.6 84-7°. In the same manner was prepared 99 g. 1-(4-aminobutyl)piperidine, b0.5 55°, from 282 g. N-(4-bromobutyl)phthalimide. EtMeCHCH2OH (II) (7 l.) refluxed with the azeotropic removal of H2O, the refluxing II treated with 626 g. o-ClC6H4CO2H and then cautiously with 276 g. powdered dry K2CO3, the mixture refluxed until all H2O had been removed, 2 l. II distilled, 573 g. 1-C10H7NH2 dissolved in the distillate, the residual mixture heated with stirring with 4 g. Cu powder, treated during 1 hr. with the 1-C10H7NH2 solution, refluxed 24 hrs. with stirring, cooled, and filtered, the filter cake washed with warm II, the combined filtrates evaporated in vacuo, and the residue triturated with cold 95% EtOH and then petr. ether and dried in vacuo at 60° yielded 623 g. N-1-naphthylanthranilic acid (III), m. 180-5°. 2,4-Cl2C6H3CO2H (191 g.) in 1200 cc. HCONMe2 treated with stirring with 69 g. K2CO3, the mixture refluxed 8 hrs. with 143 g. 1-C10H7NH2 and 1 g. Cu powder, diluted with 8 l. H2O, adjusted to pH 11 with NaOH, treated with C, filtered, acidified with glacial AcOH, and filtered, and the residue crystallized from ligroine (b. 80-110°) gave 115 g. p-Cl derivative (IV) of III, m. 238-40°. III (26.3 g.) in 500 cc. dry ligroine and 22.9 g. PCl5 warmed gradually to boiling, refluxed 20 min., and filtered, and the filtrate chilled and filtered yielded 17.8 g. acid chloride (V) of III, m. 112-14°. III and POCl3 yielded by the method of Bachman and Picha (C.A. 40, 64862) 73% 7-chlorobenz[c]acridine (VI), m. 144-5°. IV yielded similarly 85% 10-Cl derivative of VI, m. 200-1°. VI (10 g.) and 40 g. PhOH heated 2 hrs. with stirring on the steam bath, cooled, and recrystd. from 500 cc. MeOH, and the crude product (12.9 g.) repptd. from MeOH with Et2O yielded pure 7-phenoxybenz[c]acridine-HCl, softened at 190°, resoldified, and remained unmelted at 300°. PhOH (24-80 g.) and 0.03-0.4 mole VI heated 15 ml. with stirring at 100°, the mixture treated with 0.035-0.425 mole of the appropriate amine, heated 2-3 hrs. with stirring, cooled, and poured into excess aqueous NaOH or KOH, the base extracted with Et2O or CHCl3, the extract washed, dried, and evaporated, and the residue recrystd. gave the corresponding 7-(substituted-amino)benz[c]acridine (VII) (method A). The free VII in dry Et2O added to the appropriate acid in dry Et2O or CHCl3 gave the corresponding salt. VI (0.05-0.19 mole) and 75-150 cc. of the appropriate amine heated 4-24 hrs. with stirring to 100-40°, refrigerated, and filtered, and the residue washed with cold absolute EtOH or Me2CO and dried 18 hrs. in vacuo at room temperature yielded the corresponding VII (method B). VI (0.068 mole) and 50 cc. amine heated 3 hrs. at

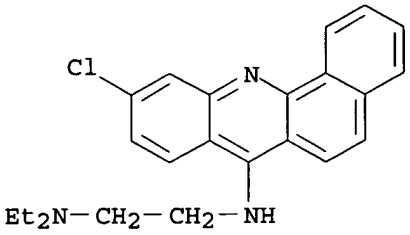
130°, cooled, poured into 3 l. H_2O, and extracted with Et_2O, the extract washed, dried, and evaporated, and the precipitate filtered off, crystallized from dilute HCl, washed with Me_2CO, and recrystd. from alc. HCl gave the VII.HCl (method C). V (0.045-0.063 mole) in 100-250 cc. dry C_6H_6 treated with stirring with 0.049-0.068 mole of the appropriate diamine in 100 cc. dry C_6H_6, refluxed 0.5 hr., treated dropwise with 16.4-23.1 cc. $POCl_3$, refluxed 7 hrs. with stirring, and cooled, the C_6H_6 decanted, the residue dissolved in H_2O, the aqueous solution decolorized with C, basified with NH_4OH, and extracted with Et_2O or $CHCl_3$, the extract worked up, the residue dissolved in absolute EtOH, the solution treated with dry HCl, diluted with Et_2O, and filtered, and the residue washed with Me_2CO, recrystd., and dried 20 hrs. in vacuo at room temperature gave the VII.HCl (method D). The appropriate amine (0.042-0.2 mole), 40-70 g. PhOH, and 0.038-0.12 mole VI heated 2 hrs. with stirring at 100-40°, cooled, poured with stirring into 125-500 cc. Me_2CO mixed with 5-25 cc. concentrated HCl, and allowed to stand 20-48 hrs. yielded the VII.HCl (method E). The appropriate amine (0.042-0.2 mole), 40-80 g. PhOH, and 0.038-0.15 mole VI heated 2-3 hrs. with stirring at 100-55°, cooled, and stirred into 10-20 cc. concentrated HCl in 150-300 cc. Me_2CO, the mixture concentrated and triturated twice with 500-cc. portions dry Et_2O, the residue basified strongly with NH_4OH or aqueous KOH and extracted with Et_2O, and the extract washed, filtered, and evaporated or diluted with petr. ether gave the corresponding VII (method F). By these methods were prepared the following VII or VII salts (substituent, salt-forming acid, m.p., % yield, and method given): $Me_2N(CH_2)_2$, 2HCl, 213° (decomposition) (EtOH-Me_2CO), 41, D; 3-piperidinopropyl (VIII), 2HCl.1 1/3H_2O, 255-6° (decomposition) (EtOH-MeOH), 73, A; 3-dipropylaminopropyl, 2HCl.0.5H_2O, 208-10° (EtOH-Et_2O-Me_2CO containing a few drops HCl), 71, D; 4-piperidinobutyl, 2HCl, 230-40° (decomposition) (EtOH-Et_2O), 43, A; $Et_2N(CH_2)_5$, 2(3,2-$HOC_{10}H_6CO_2H$).0.5H_2O, indefinite (EtOH-Et_2O), 10, A; 3-(5-ethyl-2-methylpiperidino)propyl, 2HCl.2H_2O, 150° (decomposition) (from MeOH-EtOAc), 31, A; 6-piperidinohexyl, 2HCl, 245-50° (EtOH-Et_2O-Me_2CO containing a few drops alc. HCl), 59, A; the following 7-substituted benz[c]acridines: Bu, HCl, 243-5° (decomposition) (MeOH-Me_2CO), 83, E; C_6H_{13}, -, 68° (petr. ether-ligroine), 63, F; C_8H_{17}, -, 76° (petr. ether ligroine and aqueous EtOH), 56, F [HCl salt, m. 170-2° (decomposition)]; $HO(CH_2)_2$ (IX), -, 149-51° (EtOAc), 53, B; 10-Cl derivative of IX, -, 181-2° (iso-PrOH), 78, B; $HO(CH_2)_3$, -, 111-13° (absolute EtOH), 70, A; $HOCH_2CH(OH)CH_2$, HCl.1.25H_2O, 205-10° (EtOH-EtOAc), 49, E; $Me_2CHO(CH_2)_3$ o-$HOC_6H_4CO_2H$ (XI), 146-7° (absolute EtOH), 51, F; $H_2N(CH_2)_3$, XI, 180° (EtOH-Et_2O), 63, A (1 mole excess of diamine was used); $H_2N(CH_2)_6$, XI, 230° (decomposition) 74, A (1 mole excess of diamine was used). Similarly were prepared the following 7-(substituted-amino)-10-chlorobenz[c]acridines (same data given): $Et_2N(CH_2)_2$, 2HCl, 260° (decomposition) (alc. HCl), 56, C; 10-Cl derivative of VIII, -, 255-6° (decomposition) (EtOH-MeOH), 61, A; Et_2N-$(CH_2)_3CHMe$, 2HCl.2.25H_2O, 270° (dilute HCl), 15, C; 4-piperidinobutyl, 2HCl, 255° (iso-PrOH), 25, A; 5-piperidinopentyl, 2HCl.2H_2O, indefinite (MeOH), 63, A. 7-(5-Piperidinopentylamino)benz[c]acridine-2HCl.H_2O (X) (0.98 g.) and 0.86 g. 4,4'-methylenebis(3-hydroxy-2-naphthoic acid) di-Na salt in H_2O gave 78% of the corresponding salt crystallizing with 1 mole of H_2O, m. 255-60°. X (9.4 g.) in 100 cc. H_2O treated slowly with stirring with 15.0 g. 8-hydroxy-7-iodo-5-quinolinesulfonic acid (XI) in 500 cc. H_2O, cooled, scratched, and filtered, the residue pulverized in ice H_2O and filtered, and the residue washed with H_2O, dried 24 hrs. at 40°, and crystallized from EtOH-Me_2CO yielded 8.5 g. X.2XI, m. 176-8° with softening at 113° (decomposition). X (20.0 g.) in 50 cc. H_2O and 31.0 g. K benzyl penicillin yielded similarly 22 g. X salt

with 2 moles Penicillin G, hygroscopic yellow solid, m. 85-105° (decomposition). When tested against Endamoeba histolitica in vitro, against experimentally induced intestinal amebiasis in rats and against amebic **hepatitis** in hamsters, the benzacridine derivs. showed good activities.

IT **112323-73-6**, Benz[c]acridine, 10-chloro-7-[(2-diethylaminoethyl)amino]-, dihydrochloride
(preparation of)

RN 112323-73-6 CAPLUS

CN Benz[c]acridine, 10-chloro-7-[(2-diethylaminoethyl)amino]-, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

L8 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:900623 CAPLUS
DOCUMENT NUMBER: 134:56585
TITLE: Antagonism of immunostimulatory CpG-oligonucleotides by 4-aminoquinolines and other weak bases
INVENTOR(S): MacFarlane, Donald E.; Strekowski, Lucjan; Manzel, Lori; Ismail, Fyaz; Barlin, Gordon B.
PATENT ASSIGNEE(S): University of Iowa Research Foundation, USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076982	A1	20001221	WO 2000-US16723	20000616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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CA 2412345	AA	20001221	CA 2000-2412345	20000616
US 6479504	B1	20021112	US 2000-595875	20000616
EP 1377554	A1	20040107	EP 2000-946819	20000616
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PRIORITY APPLN. INFO.: US 1999-139544P P 19990616
WO 2000-US16723 W 20000616

OTHER SOURCE(S): MARPAT 134:56585
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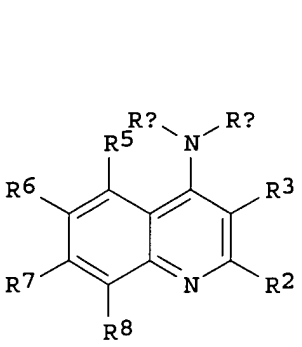

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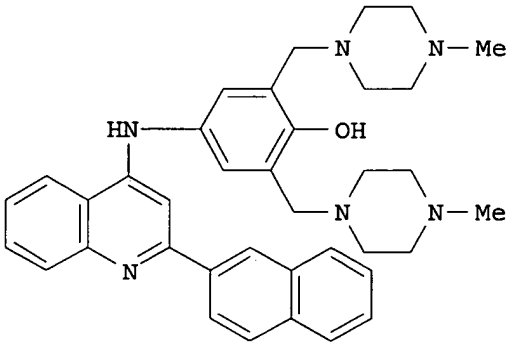

II

AB The present invention concerns compns. and methods for inhibiting stimulation of the immune system. The compds. and methods comprise compds. that are analogs and derivs. of chloroquine, such as 4-aminoquinolines, and other weak bases. other weak bases. More particularly, a method of inhibiting immunostimulation in a subject comprises administering an effective amount of a composition containing substituted
4-quinolinamines [I; RA = H, lower alkyl; RB = (un)substituted alkyl,